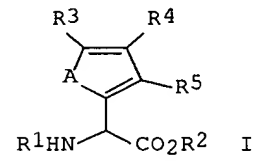
L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 2002:428899 CAPLUS
DN 137:20292
TI Preparation of (amino)(furan-2-yl)acetates and (amino)(thien-2-yl)acetates as analgesics and antimigraine agents
IN Maul, Corinna; Englberger, Werner; Przewosny, Michael
PA Gruenenthal Gmbh, Germany
SO PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002044171	A1	20020606	WO 2001-EP13910	20011128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10059864	A1	20020613	DE 2000-10059864	20001130
PRAI DE 2000-10059864	A	20001130		

OS MARPAT 137:20292
GI

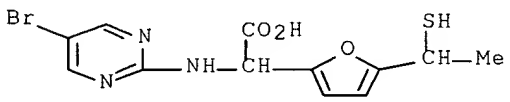


I

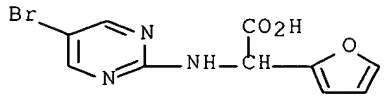
AB Title compds. [I; A = O, S; R1 = aryl, alkylaryl, heterocyclyl, alkylheterocyclyl; R2 = H, alkyl, cycloalkyl, alkylcycloalkyl, aryl, alkylaryl, heterocyclyl, alkylheterocyclyl; R3-R5 = H, OH, SH, F, Cl, Br, I, cyano, NO2, alkyl, cycloalkyl, aryl, alkylaryl, heterocyclyl, alkylheterocyclyl; etc.] were prepd. Several I at 10 .mu.mol showed affinity to glycine binding site of NMDA receptor channel with IC50 = 44-106%; IC50 = concn. with 50% displacement of radioactive ligands from its specific bond.

IT **434329-71-2P**, 2-(5-Bromopyrimidin-2-ylamino)-2-(5-methylsulfanylmethylfuran-2-yl)acetic acid **434329-83-6P**, 2-(5-Bromopyrimidin-2-ylamino)-2-(furan-2-yl)acetic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)(prepn. of (amino)(furanyl)acetates and (amino)(thienyl)acetates as analgesics and antimigraine agents)

RN 434329-71-2 CAPLUS
CN 2-Furanacetic acid, .alpha.-[(5-bromo-2-pyrimidinyl)amino]-5-(1-mercaptoethyl)- (9CI) (CA INDEX NAME)



RN 434329-83-6 CAPLUS
CN 2-Furanacetic acid, .alpha.-[(5-bromo-2-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)

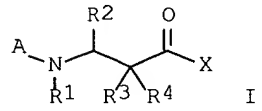


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 2002:90021 CAPLUS
DN 136:135017
TI Prepn. of beta-amino acid derivatives as inhibitors of leukocyte adhesion mediated by VLA-4
IN Konradi, Andrei W.; Pleiss, Michael A.; Thorsett, Eugene D.; Ashwell, Susan; Welmaker, Gregory S.; Kreft, Anthony; Sarantakis, Dimitrios; Grant, Francine S.; Dressen, Darren B.; Semko, Christopher; Xu, Ying-Zi; Stappenbeck, Frank
PA Elan Pharmaceuticals, Inc., USA; American Home Products Corporation
SO PCT Int. Appl., 141 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002008201	A2	20020131	WO 2001-US23071	20010720
WO 2002008201	A3	20020627		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002058664	A1	20020516	US 2001-909838	20010720
PRAI US 2000-220118P	P	20000721		

OS MARPAT 136:135017
GI



I

AB Beta-amino acid derivs. I [R1 = H, (un)substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, or heterocyclic; R3 and R4 = H, halogen, alkyl, substituted alkyl, alkenyl, alkynyl, alkoxy, haloalkoxy, alkylthio, alkylamino, alkylcyano, etc.; X = OH, (un)substituted alkoxy, alkenoxy, cycloalkoxy, cycloalkenoxy, aryloxy, heteroaryloxy, heterocyclyloxy, amino, etc.; A = (un)substituted aryl, heteroaryl, cycloalkyl, or heterocyclic group; R2 = acylamino, acyloxy, (un)substituted acyl(hetero)aryl, aminoacyl(hetero)aryl, aminocarbonylamino(hetero)aryl, etc.] were prepd. as as inhibitors of leukocyte adhesion mediated by VLA-4. Compds. I have IC50 of 15 .mu.M or less in assay for detg. binding to VLA-4. Thus, (R)-3-[(5-(2-fluorophenyl)-2-(N-cyclohexyl-N-methylamino]-pyrimidin-4-ylamino)-3-(4-(dimethylaminocarbonyl)oxyphenyl)propanoic acid was prepd. from p-hydroxycinnamate and (S)-(-)-benzyl-.alpha.-methylbenzylamine by multistep procedure via coupling of (R)-3-amino-3-(4-tert-butyldimethylsiloxy)phenyl)-propanoic acid Et ester with 2,4-dichloro-5-bromopyrimidine.

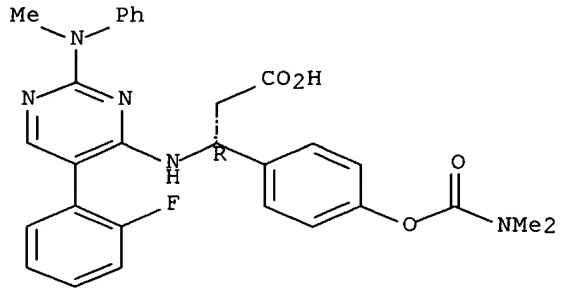
IT **392662-81-6P 392662-83-8P 392662-84-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)(prepn. of beta-amino acid derivs. as inhibitors of leukocyte adhesion mediated by VLA-4)

RN 392662-81-6 CAPLUS

CN Benzenepropanoic acid, 4-[[(dimethylamino)carbonyl]oxy]-.beta.-[[5-(2-fluorophenyl)-2-(methylphenylamino)-4-pyrimidinyl]amino]-, (.beta.R)-(9CI) (CA INDEX NAME)

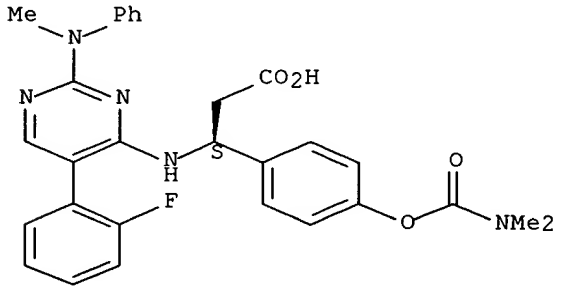
Absolute stereochemistry.



RN 392662-83-8 CAPLUS

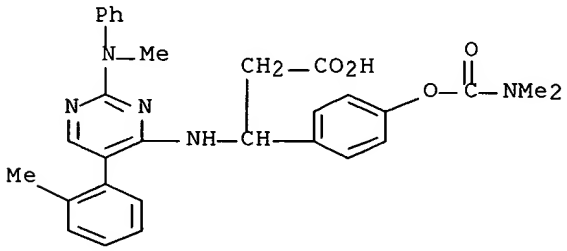
CN Benzenepropanoic acid, 4-[[(dimethylamino)carbonyl]oxy]-.beta.-[[5-(2-fluorophenyl)-2-(methylphenylamino)-4-pyrimidinyl]amino]-, (.beta.S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

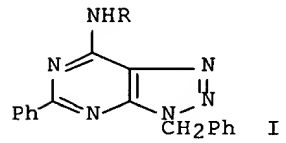


RN 392662-84-9 CAPLUS

CN Benzenepropanoic acid, 4-[[(dimethylamino)carbonyl]oxy]-.beta.-[[5-(2-methylphenyl)-2-(methylphenylamino)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 2001:922865 CAPLUS
DN 137:20347
TI New 2-(2'-Phenyl-9'-benzyl-8'-azapurin-6'-ylamino)carboxylic acid methyl esters as ligands for A1 adenosine receptors
AU Biagi, Giuliana; Giorgi, Irene; Pacchini, Federica; Livi, Oreste; Scartoni, Valerio
CS Dipartimento di Scienze Farmaceutiche, Universita di Pisa, Pisa, 56100, Italy
SO Farmaco (2001), 56(12), 929-931
CODEN: FRMCE8; ISSN: 0014-827X
PB Elsevier Science S.A.
DT Journal
LA English
GI

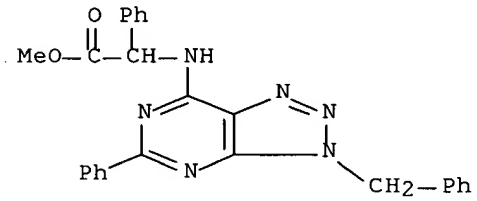


I

AB Title compds. I [R = Me, CH2Ph, CH2CH2CO2Me, Pr, CHMe2, Ph, CH2SMe, Bu] were prepd. from the chloride and racemic amino acid esters. The ester group was incorporate to assure better water-soly. than the 8-azaadenines substituted with lipophilic groups synthesized in the past. I demonstrated only little capability of binding A1 adenosine receptors.

IT **433922-16-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(2-(2-phenyl-9-benzyl-8-azapurin-6-ylamino) acid Me esters as ligands for A1 adenosine receptors)

RN 433922-16-8 CAPLUS
CN Benzeneacetic acid, .alpha.-[[5-phenyl-3-(phenylmethyl)-3H-1,2,3-triazolo[4,5-d]pyrimidin-7-yl]amino]-, methyl ester (9CI) (CA INDEX NAME)

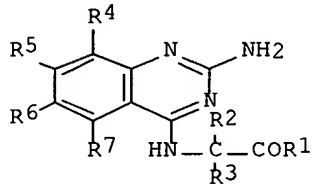


RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 2000:121829 CAPLUS
DN 132:166250
TI Preparation of quinazolines and their use as antiallergic agents
IN Tokunaga, Teruharu; Antoku, Fujio; Iwai, Kiyotaka; Tanaka, Hiroshi; Nagata, Shigemi; Ochi, Hiroshi; Watanabe, Takamasa; Fujita, Kazushi; Kawakami, Hajime
PA Sumitomo Pharmaceuticals Co., Ltd., Japan; Sumitomo Chemical Co., Ltd.
SO Jpn. Kokai Tokkyo Koho, 20 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000053654	A2	20000222	JP 1998-225750	19980810

OS MARPAT 132:166250
GI

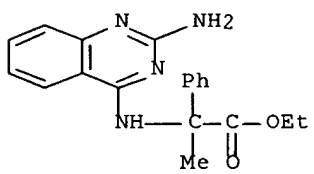


I

AB Title compds. I (R1 = C1-6 alkyl, C1-6 alkoxy; R2 = H, C1-6 alkyl, C6-10 aryl, halo, carbamoyl, etc.; R3 = H, C1-6 alkyl; R4-R7 = H, OH, C1-6 alkoxy, halo) or their pharmaceutically acceptable salts, useful as immunomodulator inhibiting immune response of type 2 helper T cell and increasing that of type 1 helper T cell for treatment of asthma, allergic rhinitis, or atopic dermatitis, are prepd. 5-Amino-2-isopropyl-2-methyl-imidazo[1,2-c]quinazolin-3(2H)-one was reacted with NaOMe in EtOH at room temp. for 1 h to give 56% Et 2-[(2-aminoquinazolin-4-yl)amino]-2,3-dimethylbutanoate showing in vitro good activity to control cytokine.

IT **258518-07-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of quinazolines and their use as antiallergic agents)

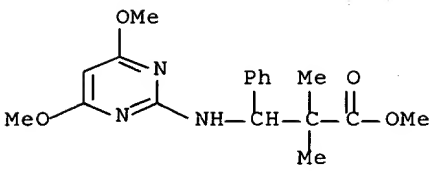
RN 258518-07-9 CAPLUS
CN Benzeneacetic acid, .alpha.-[(2-amino-4-quinazolinyl)amino]-.alpha.-methyl-, ethyl ester (9CI) (CA INDEX NAME)



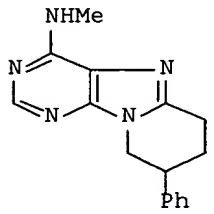
L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1996:516458 CAPLUS
DN 125:168644
TI Derivatives of beta-aminopropionic acid with a fungicidal activity
IN Camaggi, Giovanni; Filippini, Lucio; Gusmeroli, Marilena; Mormile, Silvia; Signorini, Ernesto; Garavaglia, Carlo
PA Isagro Ricerca S.r.l., Italy
SO Eur. Pat. Appl., 77 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 718280	A2	19960626	EP 1995-115777	19951006
	EP 718280	A3	19961030		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	EP 843967	A1	19980527	EP 1998-100374	19951006
	EP 843967	B1	20000405		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI				
	AT 191317	E	20000415	AT 1998-100374	19951006
	ES 2144885	T3	20000616	ES 1998-100374	19951006
	AU 9533147	A1	19960502	AU 1995-33147	19951010
	AU 707241	B2	19990708		
	JP 08245541	A2	19960924	JP 1995-299254	19951023
	US 5856311	A	19990105	US 1995-553782	19951023
PRAI	IT 1994-MI2156	A	19941021		
	EP 1995-115777	A3	19951006		

OS MARPAT 125:168644
AB .beta.-Aminopropionic acids RaK1W(O)ZCR3ArCR1R2Z [W = C, SOm (m = 0-2), P(O)OR (R = C1-8 alkyl, haloalkyl); Ar = Ph, naphthyl, heteroaryl, C3-10 cycloalkyl; Q = -CN, thiazolyl, C(O)YK2Rb (Y = O, NR4, AA amino acid residue); Z = NR5, AA amino acid residue; Ra, Rb = H, C1-8 alkyl, haloalkyl, C4-10 cycloalkylalkyl, Ph, naphthyl, heterocyclyl, C3-10 cycloalkyl, K1, K2 = direct bond, C1-8 alkylenic or haloalkylenic chain; K1 = O, C2-8 oxaalkylenic chain, NR2 (R2 is similar to Ra); K2 = C2-8 oxaalkylenic chain; R1, R2, R3, R4, R5 = H, C1-8 alkyl, haloalkyl; R1, R2 = F] were prepd. as antifungal agents for agricultural purposes. E.g., 100 g PhCHO, 94 g malonic acid, and 109 g NH4OAc was refluxed in EtOH 8 h under N2 to give 58 % 3-phenyl-3-aminopropanoic acid. At a concn. of 2000 pm, the tested compds. showed >90% control of vine mildew (Plasmopara viticola) and cucumber mildew (Sphaerotheca fuliginea).
IT **180264-30-6P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and fungicidal activity of .beta.-aminopropionic acid derivs.)
RN 180264-30-6 CAPLUS
CN Benzenepropanoic acid, .beta.-[(4,6-dimethoxy-2-pyrimidinyl)amino]-.alpha.,.alpha.-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

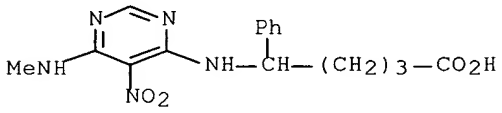

OMe
N
Ph
Me
O
MeO
N
NH
CH
C
C
OMe
Me

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1995:637521 CAPLUS
DN 123:198721
TI Synthesis of a conformationally constrained analog of BW A78U, an anticonvulsant adenine derivative
AU Desaubry, Laurent; Wermuth, Camille Georges; Bourguignon, Jean-Jacques
CS Lab. Pharmacochim. Mol., CNRS, Strasbourg, 67084, Fr.
SO Tetrahedron Letters (1995), 36(24), 4249-52
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier
DT Journal
LA English
OS CASREACT 123:198721
GI

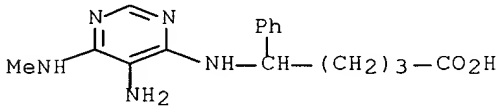


I

AB The conformationally constrained BW A78U analog I was prepd. using SiCl4 in a new cyclodehydration procedure.
IT **167864-94-0P 167864-95-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of a conformationally constrained adenine deriv.)
RN 167864-94-0 CAPLUS
CN Benzenepentanoic acid, .delta.-[[6-(methylamino)-5-nitro-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



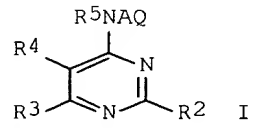
RN 167864-95-1 CAPLUS
CN Benzenepentanoic acid, .delta.-[[5-amino-6-(methylamino)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1995:331666 CAPLUS
DN 123:198821
TI Insecticidal, acaricidal and fungicidal aminopyrimidines
IN Drumm, Joseph E., III; Lett, Renee M.; Stevenson, Thomas M.
PA du Pont de Nemours, E. I., and Co., USA
SO U.S., 38 pp. Cont.-in-part of U.S. Ser. No. 615,509, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5378708	A	19950103	US 1993-50263	19930513
	WO 9208704	A1	19920529	WO 1991-US8241	19911113
	W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MC, MG, MN, MW, NO, PL, RO, SD, SU, US				
	RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
PRAI	US 1990-615509	B2	19901119		
	WO 1991-US8241	W	19911113		

OS MARPAT 123:198821
GI

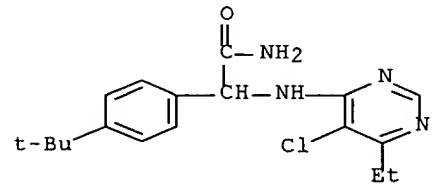


I

AB Compds. of the formula I wherein A, Q and R2 to R5 are as defined in the text, compns. contg. them and methods for using them to control insects, acarids and fungi. Mortality levels of 80% or higher were obtained for the following pests: fall armyworm, tobacco budworm, southern corn rootworm, aster leafhopper, boll weevil, black bean aphid, two-spotted spider mite; the causal agent of wheat powdery mildew (Erysiphe graminis f. sp. tritici) was cotrolled to a degree of 70%; the causal agent of wheat leaf rust (Puccinia recondita) was controlled to a degree of 70ee of 70%; and the causal agent of grape downey mildew (Plasmopara viticola) was controlled to a degree of 70%.

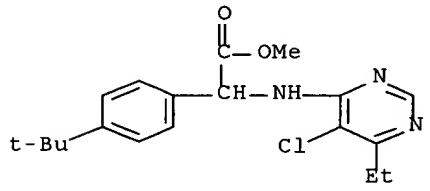
IT **142523-77-1P 142523-78-2P 142523-80-6P**
142523-81-7P 142524-00-3P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(insecticidal, acaricidal and fungicidal aminopyrimidines)

RN 142523-77-1 CAPLUS
CN Benzeneacetamide, .alpha.-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-4-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

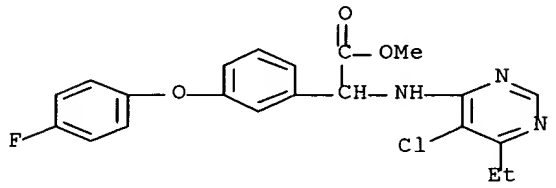


RN 142523-78-2 CAPLUS
CN Benzeneacetic acid, .alpha.-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-4-

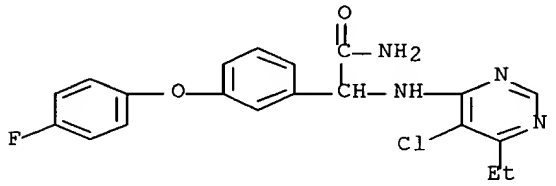
(1,1-dimethylethyl)-, methyl ester (9CI) (CA INDEX NAME)



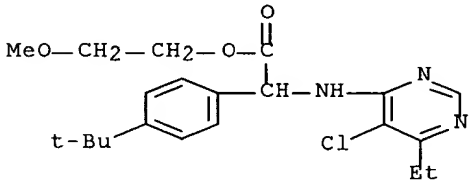
RN 142523-80-6 CAPLUS
CN Benzeneacetic acid, .alpha.-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-3-(4-fluorophenoxy)-, methyl ester (9CI) (CA INDEX NAME)



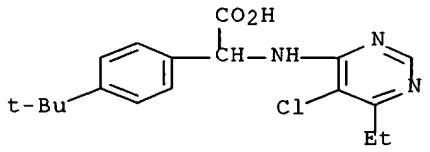
RN 142523-81-7 CAPLUS
CN Benzeneacetamide, .alpha.-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-3-(4-fluorophenoxy)- (9CI) (CA INDEX NAME)



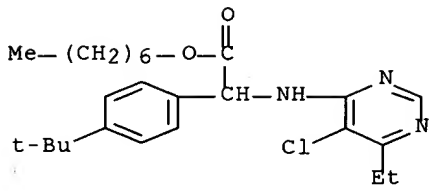
RN 142524-00-3 CAPLUS
CN Benzeneacetic acid, .alpha.-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-4-(1,1-dimethylethyl)-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)



IT **142523-79-3P 142524-02-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(insecticidal, acaricidal and fungicidal aminopyrimidines)
RN 142523-79-3 CAPLUS
CN Benzeneacetic acid, .alpha.-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-4-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



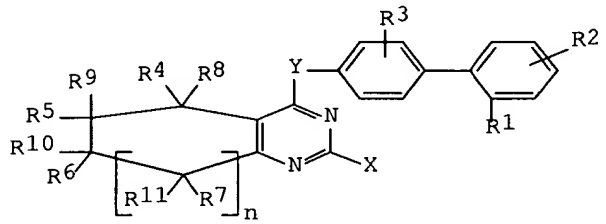
RN 142524-02-5 CAPLUS
CN Benzeneacetic acid, .alpha.-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-4-(1,1-dimethylethyl)-, heptyl ester (9CI) (CA INDEX NAME)


Me— (CH2) 6 — O— C
O
CH— NH
N
N
t-Bu
Cl
Et

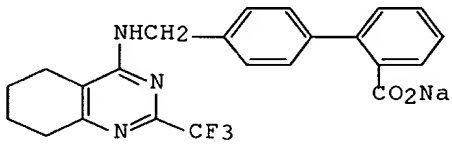
L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1994:107042 CAPLUS
DN 120:107042
TI Preparation of pyrimidocycloalkanes as angiotensin II antagonists and antihyperlipidemics.
IN Primeau, John Laurent; Garrick, Lloyd Michael; Ocain, Timothy Donald; Soll, Richard Michael; Dollings, Paul Jeffrey
PA American Home Products Corp., USA
SO PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9308171	A1	19930429	WO 1992-US8992	19921023
	W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, PL, RO, RU, SD				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
	US 5234936	A	19930810	US 1991-782017	19911024
	AU 9331228	A1	19930521	AU 1993-31228	19921023
	EP 610439	A1	19940817	EP 1992-925019	19921023
	EP 610439	B1	19991215		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE				
	AT 187717	E	20000115	AT 1992-925019	19921023
PRAI	US 1991-782017		19911024		
	WO 1992-US8992		19921023		

OS MARPAT 120:107042
GI



I



II

AB Title compds. [I; X = H, NR12R13, OR14, cyano, F, Cl, iodo, Br, (perfluoro)alkyl, hydroxyalkyl, alkoxyalkyl, (CH)nCO2R14, (CH2)nCONR12R13; Y = NR15, NR18CR16R17, CR16R17NR15; R1 = 5-tetrazolyl, CO2R14, SO3H, NHSO2Me, NHSO2CF3; R2, R3 = X, aralkyl, NO2, SO2R19; R4-R11 = H, F, alkyl, alkoxyalkyl, OCOR14, hydroxylalkyl, perfluoroalkyl, aralkyl, aryl, cyano, NO2, SO2R19, (CH2)n(O2R14, (CH2)nCONR12R13, OH, OR14, NR12R13, or any 2 geminal groups can = O, CH2; R12, R13 = H, alkyl, aralkyl; R14 = H, alkyl, aralkyl, alkoxyalkyl; R5 = H, alkyl, (CH2)nCO2R14, alkoxyalkyl, aralkyl,(CH2)nCONR12R13, OR14, perfluoroalkyl, hydroxyalkyl, COR14, CONR12R13; R16, R17 = H, alkyl, alkoxyalkyl, hydroxyalkyl, perfluoroalkyl, aralkyl, cyano, NO2, SO2R19, (CH2)nCO2R14, (CH2)nCONR12R13; R18 = H, alkoxyalkyl, hydroxyalkyl,

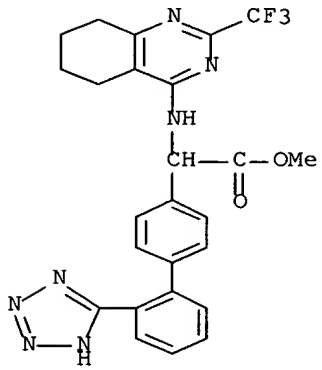
perfluoroalkyl, aralkyl, OR14, (CH2)nCO2R14,(CH2)nCONR12R13, alkyl, COR14, CONR12R13; R19 = (ar)alkyl; n = 0-3; m = 1-5], were prepd. Thus, 2-ethoxycarbonylcyclohexanone was cyclocondensed with trifluoroacetamidine to give 57% 5,6,7,8-tetrahydro-2-trifluoromethyl-4-quinazolone, which was 4-chlorinated with POCl3 in dimethylaniline at reflux. The product was condensed with 4'-aminomethyl-1,1'-biphenyl-2-ylcarboxylic acid using NaOAc in refluxing BuOH to give title compd. II. A specific I at 3 mg/kg id reduced angiotensin II-dependent blood pressure in rats by 45% 1/2 h after administration. I at 100-200 mg/kg orally in rats typically gave a 50% drop in total cholesterol.

IT **149285-75-6P 149285-76-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as angiotensin II antagonist)

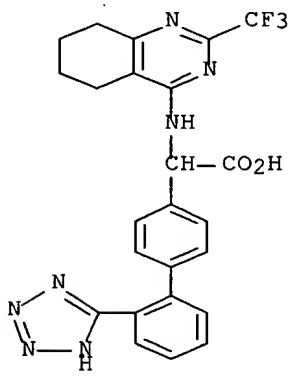
RN 149285-75-6 CAPLUS

CN [1,1'-Biphenyl]-4-acetic acid, .alpha.-[[5,6,7,8-tetrahydro-2-(trifluoromethyl)-4-quinazolinyl]amino]-2'-(1H-tetrazol-5-yl)-, methyl ester (9CI) (CA INDEX NAME)



RN 149285-76-7 CAPLUS

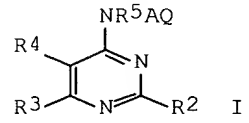
CN [1,1'-Biphenyl]-4-acetic acid, .alpha.-[[5,6,7,8-tetrahydro-2-(trifluoromethyl)-4-quinazolinyl]amino]-2'-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1992:469881 CAPLUS
DN 117:69881
TI Preparation of insecticidal, acaricidal and fungicidal 4-aminopyrimidines
IN Drumm, Joseph Eugene, III; Lett, Renee Marie; Stevenson, Thomas Martin
PA du Pont de Nemours, E. I., and Co., USA
SO PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9208704	A1	19920529	WO 1991-US8241	19911113
	W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MC, MG, MN, MW, NO, PL, RO, SD, SU, US				
	RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
	AU 9190501	A1	19920611	AU 1991-90501	19911113
	AU 658159	B2	19950406		
	EP 555388	A1	19930818	EP 1992-900675	19911113
	EP 555388	B1	19990127		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	BR 9107042	A	19930831	BR 1991-7042	19911113
	JP 06502864	T2	19940331	JP 1992-501874	19911113
	JP 3049093	B2	20000605		
	HU 65124	A2	19940428	HU 1954-93014	19911113
	AT 176226	E	19990215	AT 1992-900675	19911113
	ES 2128349	T3	19990516	ES 1992-900675	19911113
	JP 3049093	B2	20000605	JP 1991-501874	19911113
	US 5378708	A	19950103	US 1993-50263	19930513
PRAI	US 1990-615509	A	19901119		
	WO 1991-US8241	A	19911113		

OS MARPAT 117:69881
GI



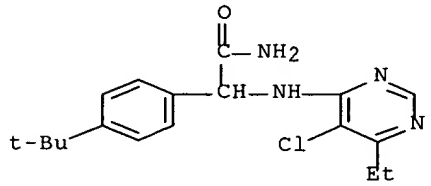
I

AB Title compds. I [Q = (substituted) Ph, -naphthyl, -benzofuryl, -benzothiophenyl, etc.; A = (substituted) C1-5 alkylene, -C3-6 cycloalkylene; R2 = H, halo, C1-4 (halo)alkyl; R3 = H, halo, C1-6 (halo)alkyl, C2-6 alkoxyalkyl, C2-6 alkylthioalkyl; R4 = halo, C1-6 (halo)alkyl, C2-6 alkoxyalkyl, C2-6 alkylthioalkyl; R5 = H, CHO, C2-6 alkoxyalkyl, C2-6 alkanoyl, C2-6 alkoxycarbonyl, (substituted) C1-6 alkyl, etc.] were prepd. Thus, .alpha.-amino-4-(tert-butyl)benzeneacetonitrile.cntdot.HCl (prepn. given) was converted to the corresponding Me ester, which was treated with 4,5-dichloro-6-ethylpyrimidine to give Me .alpha.-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-4-(tert-butyl)benzeneacetate. The latter was reduced by LiAlH4 to give title compd. I [Q = 4-Me3CC6H4; A = CHCH2OH; R2 = H; R3 = Et; R4 = Cl; R5 = H] (II). II at 0.55 kg/ha gave .gtoreq.80% control of Aphis fabae on nasturtium leaves.

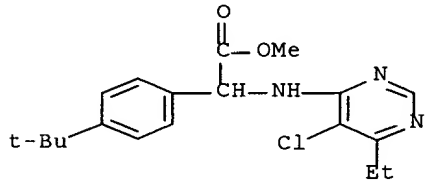
IT **142523-77-1P 142523-78-2P 142523-79-3P**

142523-80-6P 142523-81-7P 142524-00-3P
142524-02-5P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as pesticide)

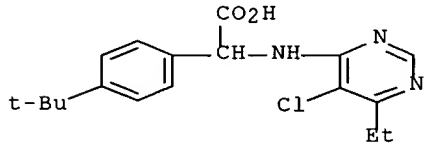
RN 142523-77-1 CAPLUS
CN Benzeneacetamide, .alpha.-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-4-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



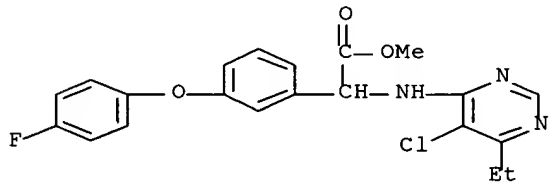
RN 142523-78-2 CAPLUS
CN Benzeneacetic acid, .alpha.-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-4-(1,1-dimethylethyl)-, methyl ester (9CI) (CA INDEX NAME)



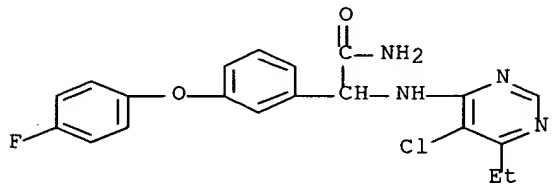
RN 142523-79-3 CAPLUS
CN Benzeneacetic acid, .alpha.-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-4-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



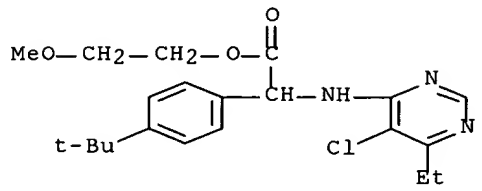
RN 142523-80-6 CAPLUS
CN Benzeneacetic acid, .alpha.-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-3-(4-fluorophenoxy)-, methyl ester (9CI) (CA INDEX NAME)



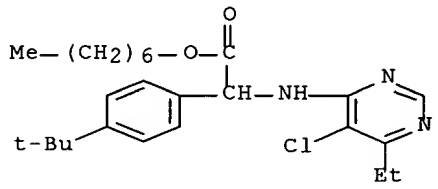
RN 142523-81-7 CAPLUS
CN Benzeneacetamide, .alpha.-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-3-(4-fluorophenoxy)- (9CI) (CA INDEX NAME)



RN 142524-00-3 CAPLUS
CN Benzeneacetic acid, .alpha.-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-4-(1,1- dimethylethyl)-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

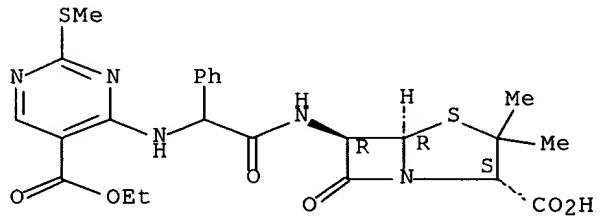


RN 142524-02-5 CAPLUS
CN Benzeneacetic acid, .alpha.-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-4-(1,1-dimethylethyl)-, heptyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1988:186366 CAPLUS
DN 108:186366
TI Studies on ampicillin and amoxicillin derivatives. III. Synthesis of 6-[2-[(pyrido[2,3-d]pyrimidin-6-yl)methylamino]-2-phenylacetamido]penicillanic acid derivatives, 6-[2-(4-pyrimidinylamino)-2-phenylacetamido]penicillanic acid derivatives and -cephalosporanic acid derivatives
AU Mishio, Shinsaku; Hirose, Toru; Nakano, Junji; Matsumoto, Junichi
CS Res. Lab., Dainippon Pharm. Co., Ltd., Suita, 564, Japan
SO Yakugaku Zasshi (1987), 107(8), 607-15
CODEN: YKKZAJ; ISSN: 0031-6903
DT Journal
LA Japanese
OS CASREACT 108:186366
AB A series of N-alkylampicillin, N-heteroarylampicillin and N-heteroarylcephalexin were synthesized. 6-[2-[(Pyrido[2,3-d]pyrimidin-6-yl)methylamino]-2-phenylacetamido]penicillanic acid derivs. were prepd. by the redn. of the Schiff base which was derived from the reaction of pyrido[2,3-d]pyrimidine-6-carboxaldehyde with ampicillin. 6-N-(4-Pyrimidinyl)ampicillin and -cephalexin derivs. were obtained by the reaction of 4-chloropyrimidine with ampicillin or cephalexin. None of them have a broad or potent antibacterial activity.
IT **114082-14-3P 114082-15-4P 114082-16-5P**
114082-17-6P 114082-18-7P 114082-19-8P
114082-20-1P 114082-21-2P 114082-22-3P
114082-23-4P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal activity of)
RN 114082-14-3 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[5-(ethoxycarbonyl)-2-(methylthio)-4-pyrimidinyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, [2S-(2.alpha.,5.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

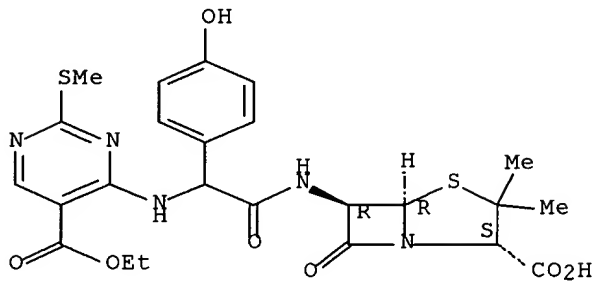
Absolute stereochemistry.



RN 114082-15-4 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[5-(ethoxycarbonyl)-2-(methylthio)-4-pyrimidinyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, [2S-

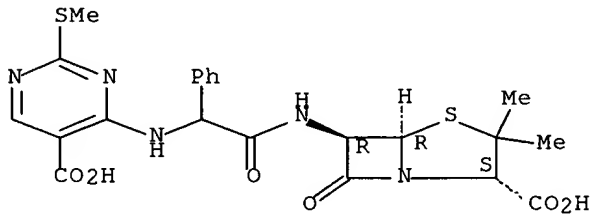
(2.alpha.,5.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



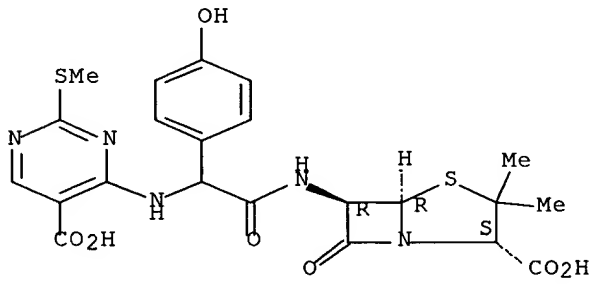
RN 114082-16-5 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[5-carboxy-2-(methylthio)-4-pyrimidinyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-,
[2S-(2.alpha.,5.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 114082-17-6 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[5-carboxy-2-(methylthio)-4-pyrimidinyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, [2S-(2.alpha.,5.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

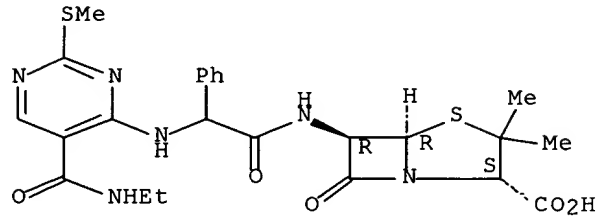
Absolute stereochemistry.



RN 114082-18-7 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[5-[(ethylamino)carbonyl]-2-(methylthio)-4-pyrimidinyl]amino]phenylacetyl]ami

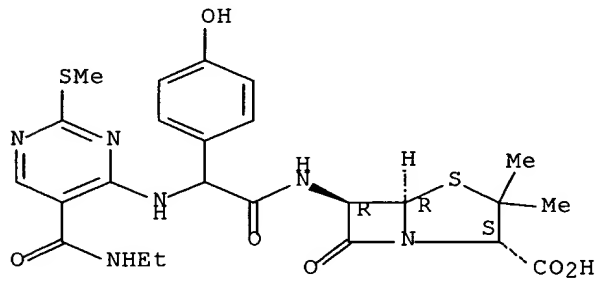
no]-3,3-dimethyl-7-oxo-, [2S-(2.alpha.,5.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



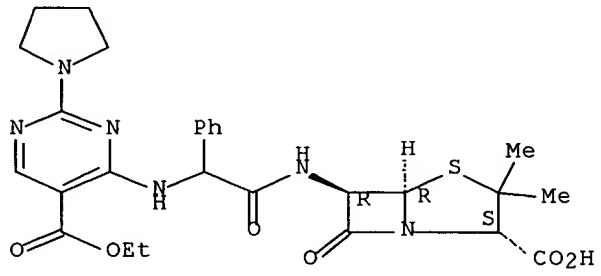
RN 114082-19-8 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[5-[(ethylamino)carbonyl]-2-(methylthio)-4-pyrimidinyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, [2S-(2.alpha.,5.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 114082-20-1 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[5-(ethoxycarbonyl)-2-(1-pyrrolidinyl)-4-pyrimidinyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, [2S-(2.alpha.,5.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

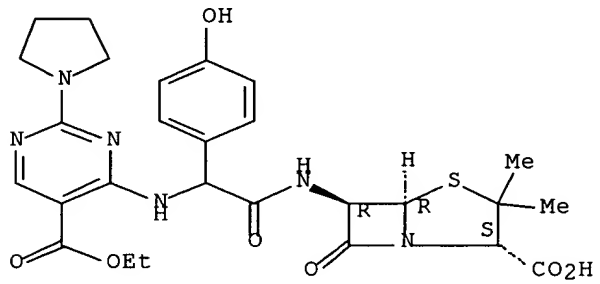
Absolute stereochemistry.



RN 114082-21-2 CAPLUS

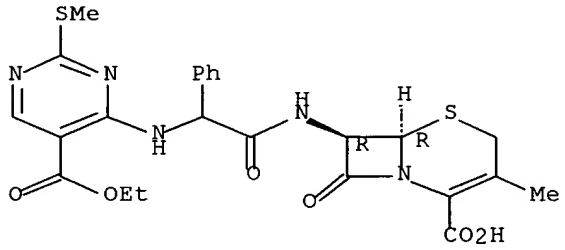
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[5-(ethoxycarbonyl)-2-(1-pyrrolidinyl)-4-pyrimidinyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, [2S-(2.alpha.,5.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



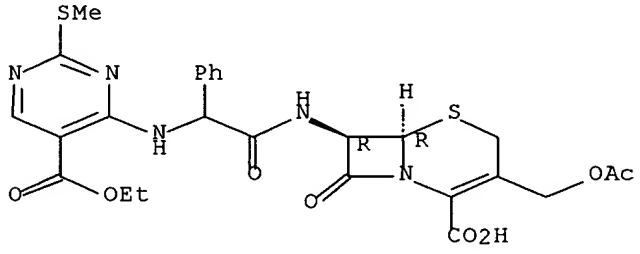
RN 114082-22-3 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[[5-(ethoxycarbonyl)-2-(methylthio)-4-pyrimidinyl]amino]phenylacetyl]amino]-3-methyl-8-oxo-, [6R-(6.alpha.,7.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

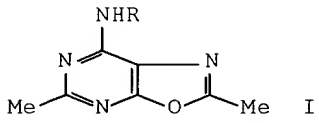


RN 114082-23-4 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[[[5-(ethoxycarbonyl)-2-(methylthio)-4-pyrimidinyl]amino]phenylacetyl]amino]-8-oxo-, [6R-(6.alpha.,7.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



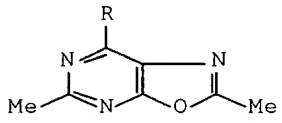
L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1987:214314 CAPLUS
DN 106:214314
TI Crystal and molecular structure of N-(2,5-dimethyloxazolo[5,4-d]pyrimidin-7-yl)-.beta.-phenyl-.beta.-alanine and N-(2,5-dimethyloxazolo[5,4-d]pyrimidin-7-yl)sarcosine
AU Manukyan, H. G.; Karapetyan, A. A.; Melik-Ogandzhanyan, R. G.; Struchkov, Yu. T.
CS Inst. Tonkoi Org. Khim., Yerevan, USSR
SO Arm. Khim. Zh. (1986), 39(2), 114-20
CODEN: AYKZAN; ISSN: 0515-9628
DT Journal
LA Russian
GI

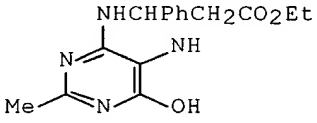


I

AB The crystal and mol. structures of title amino acid derivs. I (NHR = .beta.-phenyl-.beta.-alanine or sarcosine) were detd. by x-ray structural anal. Intramol. H bonding is invoked to explain the results.
IT **108350-84-1**
RL: PRP (Properties)
(crystal and mol. structure of)
RN 108350-84-1 CAPLUS

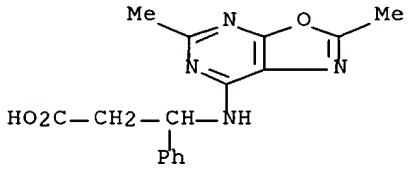
L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2002 ACS
AN 1986:207622 CAPLUS
DN 104:207622
TI Synthesis and antitumor activity of some N-2,5-dimethyloxazolo[5,4-d]pyrimidyl-7-amino acids
AU Melik-Ogandzhanyan, R. G.; Manukyan, A. G.; Mirzoyan, V. S.; Arsenyan, F.
G.; Stepanyan, G. M.; Garibdzhanyan, B. T.
CS Inst. Tonkoi Org. Khim., Yerevan, USSR
SO Khim.-Farm. Zh. (1985), 19(6), 685-9
CODEN: KHFZAN; ISSN: 0023-1134
DT Journal
LA Russian
OS CASREACT 104:207622
GI



I


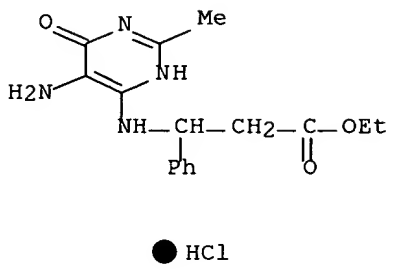
II

AB Oxazolopyrimidyl-substituted amino acids I (R = amino acid residue) (10 compds.) were prepd. by the substitution reaction of I (R = Cl) with amino
acids at pH 9.5-10.5. Esterification of I (R = .beta.-phenyl-.beta.-alanine residue) with EtOH in the presence of HCl resulted in oxazole ring
cleavage to give pyrimidine II.HCl. The title compds. were tested as antitumor agents in mice and rats; several compds. were active and only mildly toxic.
IT **102248-99-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antitumor activity of)
RN 102248-99-7 CAPLUS
CN Benzenepropanoic acid, .beta.-[(2,5-dimethyloxazolo[5,4-d]pyrimidin-7-yl)amino]- (9CI) (CA INDEX NAME)



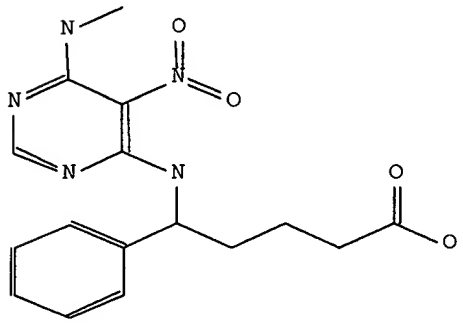
IT **102249-02-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 102249-02-5 CAPLUS
CN Benzenepropanoic acid, .beta.-[(5-amino-1,6-dihydro-2-methyl-6-oxo-4-

pyrimidinyl)amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



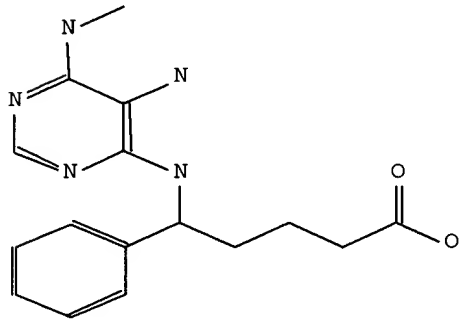
L7 ANSWER 1 OF 3 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	7316559
Chemical Name (CN):	5-(6-methylamino-5-nitro-pyrimidin-4-ylamino)-5-phenyl-pentanoic acid
Autonom Name (AUN):	5-(6-methylamino-5-nitro-pyrimidin-4-ylamino)-5-phenyl-pentanoic acid
Molec. Formula (MF):	C16 H19 N5 O4
Molecular Weight (MW):	345.36
Lawson Number (LN):	29627, 16066, 2817
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	6280575
Tautomer ID (TAUTID):	6979821
Beilstein Citation (BSO):	6-25
Entry Date (DED):	1996/02/01
Update Date (DUPD):	1996/11/12



L7 ANSWER 2 OF 3 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	7310596
Chemical Name (CN):	5-(5-amino-6-methylamino-pyrimidin-4-ylamino)-5-phenyl-pentanoic acid
Autonom Name (AUN):	5-(5-amino-6-methylamino-pyrimidin-4-ylamino)-5-phenyl-pentanoic acid
Molec. Formula (MF):	C16 H21 N5 O2
Molecular Weight (MW):	315.37
Lawson Number (LN):	29651, 16066, 2817
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	6280180
Tautomer ID (TAUTID):	6976881
Beilstein Citation (BSO):	6-25
Entry Date (DED):	1996/02/01
Update Date (DUPD):	1996/11/12



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	2
RXREA	Substance is Reaction Reactant	1
RXPRO	Substance is Reaction Product	1

L7 ANSWER 3 OF 3 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN): 1192685
Chemical Name (CN): (2-amino-9-.beta.-D-ribofuranosyl-9H-purin-6-ylamino)-phenyl-acetic acid, 2-amino-N6-(carboxy-phenyl-methyl)-adenosine

Autonom Name (AUN): <2-amino-9-(3,4-dihydroxy-5-hydroxymethyl-tetrahydro-furan-2-yl)-9H-purin-6-ylamino>-phenyl-acetic acid
Molec. Formula (MF): C18 H20 N6 O6
Molecular Weight (MW): 416.39
Lawson Number (LN): 30709, 20554, 16047
File Segment (FS): Stereo compound
Compound Type (CTYPE): heterocyclic
Constitution ID (CONSID): 1124197
Tautomer ID (TAUTID): 1152370
Beilstein Citation (BSO): 5-26
Entry Date (DED): 1988/11/29
Update Date (DUPD): 1992/01/31

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
Atom/Bond Notes:
1. CIP Descriptor: R
2. CIP Descriptor: S

Field Availability:

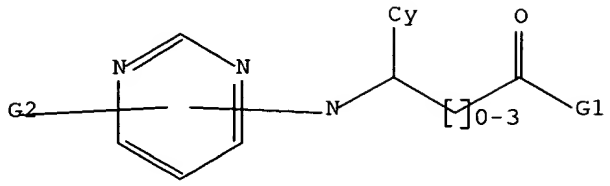
Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	2
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
MP	Melting Point	1
REAX	Use D FRX for Non-Graphical Reactions	1

Melting Point:

Value (MP) (Cel)	Ref.
100	1

Reference(s):
1. Patent: Boehringer DE 1670265 1971

=> d l1; d his; log y
L1 HAS NO ANSWERS
L1 STR



G1 O,N
G2 Cy,Ak,O,N,X

Structure attributes must be viewed using STN Express query preparation.

(FILE 'HOME' ENTERED AT 16:38:23 ON 15 SEP 2002)

FILE 'REGISTRY' ENTERED AT 16:38:32 ON 15 SEP 2002
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 34 S L1 FUL

FILE 'CAPLUS' ENTERED AT 16:39:13 ON 15 SEP 2002
L4 12 S L3

FILE 'BEILSTEIN' ENTERED AT 16:39:49 ON 15 SEP 2002
L5 0 S L1
L6 5 S L1 FUL
L7 3 S L6 NOT L4

FILE 'MARPAT' ENTERED AT 16:41:06 ON 15 SEP 2002
L8 5 S L1
L9 96 S L1 FUL

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	100.22	323.96

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-7.43

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